

DEAV2002/0063 US NP
Application No. 10/642,970

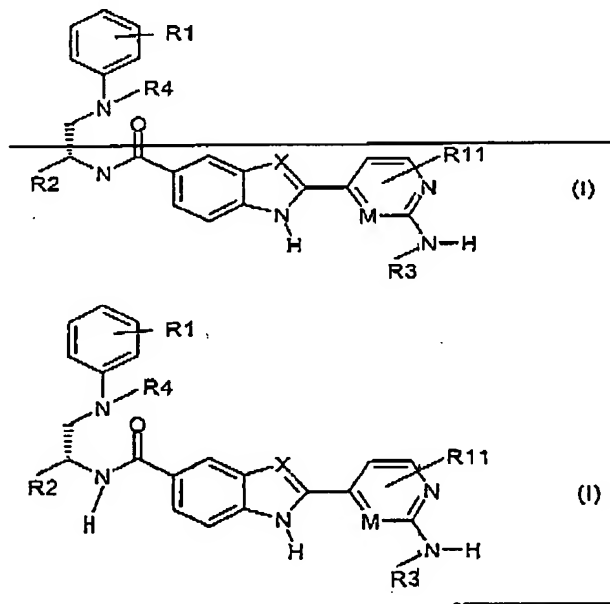
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Amendments to the Claims

This listing of claims will replace all prior versions and listings of claims in the application.

Listing of Claims

1. (Currently amended) A compound of the formula I,



wherein:

X is N or CH;

M is N or CH;

R¹ is hydrogen,

halogen chosen from F, Cl, I and Br,

-(C₁-C₄)-alkyl,

-CN,

-CF₃,

-OR⁵, wherein R⁵ is hydrogen or -(C₁-C₄)-alkyl,

-N(R⁵)-R⁶, wherein R⁵ and R⁶ are selected from hydrogen and -(C₁-C₄)-alkyl,

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-C(O)-R⁵, wherein R⁵ is hydrogen or -(C₁-C₄)-alkyl, or
-S(O)_x-R⁵, wherein x is the integer zero, 1 or 2, and wherein R⁵ is hydrogen or
-(C₁-C₄)-alkyl;

R₂ is a heteroaryl radical, which is selected from 3-hydroxypyrro-2,4-dione, imidazole, imidazolidine, imidazoline, indazole, isothiazole, isothiazolidine, isoxazole, 2-isoxazolidine, isoxazolidine, isoxazolone, morpholine, oxazole, 1,3,4-oxadiazole, oxadiazolidinedione, oxadiazolone, 1,2,3,5-oxathiadiazole-2-oxide, 5-oxo-4,5-dihydro[1,3,4]oxadiazole, 5-oxo-1,2,4-thiadiazole, piperazine, pyrazine, pyrazole, pyrazoline, pyrazolidine, pyridazine, pyrimidine, tetrazole, thiadiazole, thiazole, thiomorpholine, triazole and triazolone, wherein the heteroaryl radical is optionally substituted one, two, or three times by -C(O)-R⁵, wherein R⁵ is selected from hydrogen and -(C₁-C₄)-alkyl, -(C₁-C₄)-alkyl, -O-R⁵, wherein R⁵ is selected from hydrogen and -(C₁-C₄)-alkyl, -N(R⁵)-R⁶, wherein R⁵ and R⁶ are each selected from hydrogen and -(C₁-C₄-alkyl), halogen, or a keto radical, -C(O)-OR⁵, wherein R⁵ is hydrogen or -(C₁-C₄-alkyl), or -C(O)-N(R⁷)-R⁸, wherein R⁷ and R⁸ are each selected from hydrogen, -(C₁-C₄)-alkyl-OH, -O-(C₁-C₄)-alkyl and -(C₁-C₄-alkyl);

R₃ is hydrogen or -(C₁-C₄-alkyl);

R₄ is a heteroaryl radical, which is selected from pyrrole, furan, thiophene, imidazole, pyrazole, oxazole, isoxazole, thiazole, isothiazole, tetrazole, 1,2,3,5 oxathiadiazole-2-oxides, triazolones, oxadiazolone, isoxazolone, oxadiazolidinedione, triazole, 3-hydroxypyrro-2,4 diones, 5-oxo-1,2,4-thiadiazoles, pyridine, pyrazine, pyrimidine, indole, isoindole, indazole, phthalazine, quinoline, isoquinoline, quinoxaline, quinazoline, cinnoline, β-carboline and benzofused cyclopenta derivatives or cyclohexa derivatives of the heteroaryl radical, wherein the heteroaryl radical is optionally substituted one, two or three times by -(C₁-C₅)-alkyl, -(C₁-C₅)-alkoxy, halogen, nitro, amino, trifluoromethyl, hydroxyl, hydroxy-(C₁-C₄)-alkyl, methylenedioxy, ethylenedioxy, formyl, acetyl, cyano, hydroxycarbonyl, aminocarbonyl or -(C₁-C₄)-alkoxycarbonyl, or an aryl radical which is selected from phenyl, naphthyl,

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1-naphthyl, 2-naphthyl, biphenyl, 2-biphenyl, 3-biphenyl and 4-biphenyl, anthryl and fluorenyl, wherein the aryl radical is optionally substituted one, two, or three times by $-(C_1-C_5)$ -alkyl, $-(C_1-C_5)$ -alkoxy, halogen, nitro, amino, trifluoromethyl, hydroxyl, hydroxy- $-(C_1-C_4)$ -alkyl, methylenedioxy, ethylenedioxy, formyl, acetyl, cyano, hydroxycarbonyl, aminocarbonyl or $-(C_1-C_4)$ -alkoxycarbonyl; and

R₁₁ is hydrogen,

halogen chosen from F, Cl, I and Br,

$-(C_1-C_4)$ -alkyl,

-CN,

-CF₃,

-OR⁵, wherein R⁵ is hydrogen or $-(C_1-C_4)$ -alkyl,

-N(R⁵)-R⁶, wherein R⁵ and R⁶ are selected from hydrogen and $-(C_1-C_4)$ -alkyl,

-C(O)-R⁵, wherein R⁵ is hydrogen or $-(C_1-C_4)$ -alkyl, or

-S(O)_x-R⁵, wherein x is the integer zero, 1 or 2, and wherein R⁵ is hydrogen or

$-(C_1-C_4)$ -alkyl,

or a stereoisomer or a mixture of stereoisomers in any ratio of the compound, or a pharmaceutically acceptable salt of the compound, stereoisomer or mixture of stereoisomers of the compound.

2. (Previously presented) The compound according to claim 1, wherein

X is N or CH;

M is N or CH;

R₁ is hydrogen,

halogen chosen from F, Cl, I and Br,

$-(C_1-C_4)$ -alkyl,

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-CN,
-CF₃,
-OR⁵, wherein R⁵ is hydrogen or -(C₁-C₄)-alkyl,
-N(R⁵)-R⁶, wherein R⁵ and R⁶ are selected from hydrogen and -(C₁-C₄)-alkyl,
-C(O)-R⁵, wherein R⁵ is hydrogen or -(C₁-C₄)-alkyl, or
-S(O)_x-R⁵, wherein x is the integer zero, 1 or 2, and wherein R⁵ is hydrogen or
-(C₁-C₄)-alkyl;

R₂ is a heteroaryl radical, which is selected from imidazole, isothiazole, isoxazole, 2-isoxazolidine, isoxazolidine, isoxazolone, 1,3,4-oxadiazole, oxadiazolidinedione, 1,2,3,5-oxadiazolone, oxazole, 5-oxo-4,5-dihydro[1,3,4]oxadiazole, tetrazole, thiadiazole, thiazole, triazole and triazolone, wherein the heteroaryl radical is optionally substituted one, two, or three times by a keto radical, F, Cl, I, Br, or -(C₁-C₂)-alkyl, or -C(O)-N(R⁷)-R⁸, wherein R⁷ and R⁸ are each selected from hydrogen, -(C₁-C₄)-alkyl-OH, -O-(C₁-C₄)-alkyl and -(C₁-C₄)-alkyl);

R₃ is hydrogen, methyl or ethyl;

R₄ is a heteroaryl radical which is selected from the group of unsaturated, partially saturated or completely saturated rings which are derived from pyridine, pyrazine, pyrimidine, pyridazine, pyrrole, furan, thiophene, imidazole, pyrazole, oxazole, isoxazole, thiazole, triazole and isothiazole, wherein the heteroaryl radical is optionally substituted one, two or three times by -(C₁-C₄)-alkyl, -(C₁-C₄)-alkoxy, F, Cl, I, Br, nitro, amino, trifluoromethyl, hydroxyl, hydroxy-(C₁-C₄)-alkyl, methylenedioxy, ethylenedioxy, formyl, acetyl, cyano, hydroxycarbonyl, aminocarbonyl or -(C₁-C₄)-alkoxycarbonyl, or phenyl, wherein the phenyl is optionally substituted one, two or three times by F, Cl, I, Br, CF₃, -OH, -(C₁-C₄)-alkyl or -(C₁-C₄)-alkoxy; and

R₁₁ is hydrogen,
halogen chosen from F, Cl, I and Br,

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-(C₁-C₄)-alkyl,
-CN,
-CF₃,
-OR⁵, wherein R⁵ is hydrogen or -(C₁-C₄)-alkyl,
-N(R⁵)-R⁶, wherein R⁵ and R⁶ are selected from hydrogen and -(C₁-C₄)-alkyl,
-C(O)-R⁵, wherein R⁵ is hydrogen or -(C₁-C₄)-alkyl, or
-S(O)_x-R⁵, wherein x is the integer zero, 1 or 2, and wherein R⁵ hydrogen or
-(C₁-C₄)-alkyl.

3. (Previously presented) The compound according to claim 1, wherein the compound is:

N-[(S)-2-diphenylamino-1-(5-oxo-4,5-dihydro[1,3,4]oxadiazol-2-yl)ethyl]-2-(2-methylaminopyrimidin-4-yl)-1H-indole-5-carboxamide,

N-{1-carbamoyl-2-[(4-fluorophenyl)pyridin-2-ylamino]ethyl}-2-(2-methylaminopyrimidin-4-yl)-1H-indole-5-carboxamide,

N-[(S)-1-(5-oxo-4,5-dihydro-1,3,4-oxadiazol-2-yl)-2-(phenylpyridin-2-ylamino)ethyl]-2-(2-methylaminopyrimidin-4-yl)-1H-indole-5-carboxamide,

N-{1-carbamoyl-2-[(4-fluorophenyl)pyridin-2-ylamino]ethyl}-2-(2-aminopyrimidin-4-yl)-1H-indole-5-carboxamide,

N-[2-[(4-fluorophenyl)pyridin-2-ylamino]-1-(4H-[1,2,4]triazol-3-yl)ethyl]-2-(2-methylaminopyrimidin-4-yl)-1H-indole-5-carboxamide,

N-[1-carbamoyl-2-(phenylthiazol-2-ylamino)ethyl]-(S)-2-(2-methylaminopyrimidin-4-yl)-1H-indole-5-carboxamide,

N-[1-methoxycarbamoyl-2-(phenylpyridin-2-ylamino)ethyl]-(S)-2-(2-methylaminopyrimidin-4-yl)-1H-indole-5-carboxamide,

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N-{1-carbamoyl-2-[(phenyl)pyridin-2-ylamino]ethyl}-2-(2-aminopyrimidin-4-yl)-1H-indole-5-carboxamide,

N-{1-carbamoyl-2-[(phenyl)pyrimidin-2-ylamino]ethyl}-2-(2-methylaminopyrimidin-4-yl)-1H-indole-5-carboxamide,

N-[1-(2-hydroxyethylcarbamoyl)-2-(phenylpyrimidin-2-ylamino)ethyl]-2-(2-methylaminopyrimidin-4-yl)-1H-indole-5-carboxamide,

(S)-2-{{2-(2-methylaminopyrimidin-4-yl)-1H-indole-5-carbonyl}amino}-3-[phenyl-(4-trifluoromethylpyrimidin-2-yl)amino]propionic acid,

N-{1-carbamoyl-2-[(4-fluorophenyl)-(5-methylpyrimidin-2-yl)amino]ethyl}-2-(2-methylaminopyrimidin-4-yl)-1H-indole-5-carboxamide,

N-((S)-1-carbamoyl-2-diphenylaminoethyl)-2-(2-methylaminopyrimidin-4-yl)-1H-benzimidazole-5-carboxamide,

N-{1-carbamoyl-2-[(phenyl)pyrimidin-2-ylamino]ethyl}-2-(2-methylaminopyrimidin-4-yl)-1H-benzimidazole-5-carboxamide, or

N-{1-carbamoyl-2-[(phenyl)pyridin-2-ylamino]ethyl}-2-(2-methylaminopyrimidin-4-yl)-1H-benzimidazole-5-carboxamide,

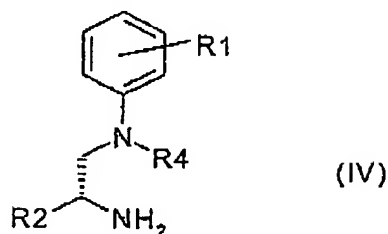
or a stereoisomer or a mixture of stereoisomers in any ration of the compound, or a pharmaceutically acceptable salt of the compound, stereoisomer or mixture of stereoisomers of the compound.

4. (Currently amended) A process for preparing a compound according to claim 1, comprising,

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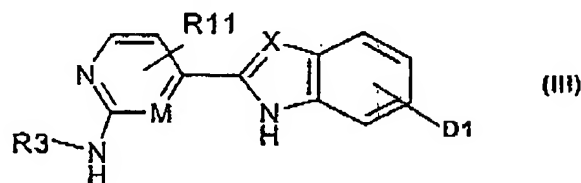
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- a) reacting a compound of formula IV,



wherein R1, R2 and R4 are as defined above,

with an acid chloride or an activated ester of the compound of the formula III,



wherein D1 is -COOH and R11, X, M and R3 are as defined above, in the presence of a base, or where appropriate, in the presence of a dehydrating agent in solution, and converting the product into a compound of the formula I,

- b) separating the compound of the formula I, which has been prepared by method a) and which, on account of its chemical structure, appears in enantiomeric forms, into the pure enantiomers by means of forming salts with enantiomerically pure acids or bases, chromatography on chiral stationary phases or derivatization using chiral enantiomerically pure compounds such as amino acids, separating the resulting diastereomers and eliminating the chiral auxiliary groups, and
- c) isolating the compound of the formula I which has been prepared by methods a) or b) in free form, or
- d) converting it into physiologically tolerated salts when acidic or basic groups are present.

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5. (Previously presented) A pharmaceutical composition comprising a pharmaceutically effective amount of the compound according to claim 1 and a pharmaceutically acceptable carrier.

Claims 6 to 14. (Canceled)